

## INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference <b>J 10012 PCT</b>	<b>FOR FURTHER ACTION</b> see Notification of Transmittal of International Search Report (Form PCT/ISA/220) as well as, where applicable, item 5 below.	
International application No. <b>PCT/EP 03/10406</b>	International filing date (day/month/year) <b>18/09/2003</b>	(Earliest) Priority Date (day/month/year) <b>18/09/2002</b>
Applicant <b>JERINI AG</b>		

This International Search Report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This International Search Report consists of a total of 8 sheets.

☒ It is also accompanied by a copy of each prior art document cited in this report.

## 1. Basis of the report

- a. With regard to the language, the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ the international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).

- b. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international search was carried out on the basis of the sequence listing :

☐ contained in the international application in written form.

☐ filed together with the international application in computer readable form.

☐ furnished subsequently to this Authority in written form.

☐ furnished subsequently to this Authority in computer readable form.

☐ the statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.

☐ the statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished

2. ☒ Certain claims were found unsearchable (See Box I).

3. ☒ Unity of invention is lacking (see Box II).

## 4. With regard to the title,

☐ the text is approved as submitted by the applicant.

☒ the text has been established by this Authority to read as follows:

**PHENOL DERIVATIVES AND THEIR USE AS ROTAMASE INHIBITORS**

## 5. With regard to the abstract,

☐ the text is approved as submitted by the applicant.

☒ the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box III. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.

## 6. The figure of the drawings to be published with the abstract is Figure No.

☐ as suggested by the applicant.

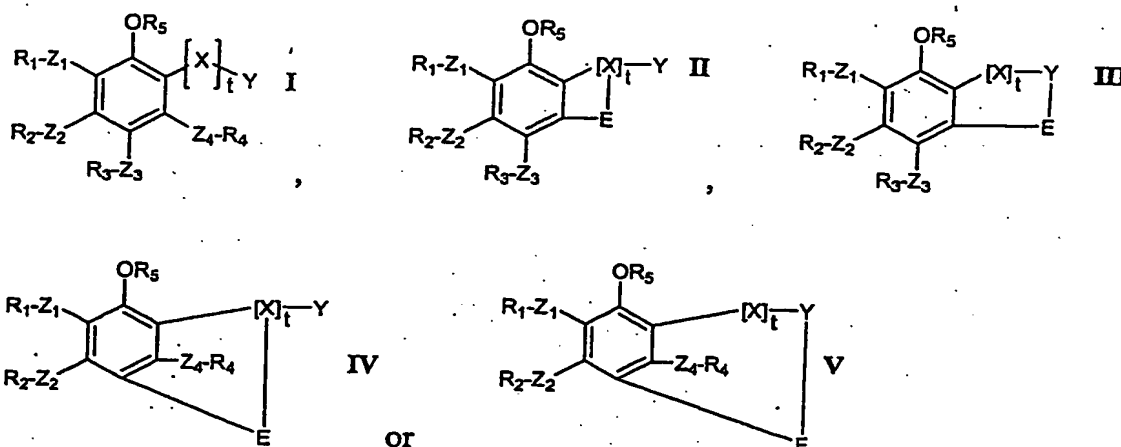
☐ because the applicant failed to suggest a figure.

☐ because this figure better characterizes the invention.

☒ None of the figures.

Box III TEXT OF THE ABSTRACT (Continuation of Item 5 of the first sheet)

The present invention is related to a compound of the formula (I), (II), (III), (IV), (V):



wherein  $Z_1$ ,  $Z_2$ ,  $Z_3$  and  $Z_4$  are each and independently selected from the group comprising  $-C(O)-$ ,  $-C(S)-$ ,  $-C(O)-NR_{10}-$ ,  $-C(S)-NR_{11}-$ ,  $-C(N-CN)-NR_{12}-$ ,  $-S(O)-$ ,  $-S(O_2)-$ ,  $-S(O)-NR_{13}-$ , and  $-S(O_2)-NR_{14}-$ ,  $-O-$ ,  $-S-$  or are each and individually absent;

$X$  is a spacer and is independently selected from the group comprising

$-M1-L1-K-L2-M2-$ ,

$-M1-L1-K-L2-M2-$ ,  $-M1-L1-K-L2-M2-$ ,  $-M1-L1-K-L2-M2-$ ,  $-M1-L1-K-L2-M2-$ ,

$-M1-L1-K-L2-M2-$ ,  $-M1-L1-K-L2-M2-$ ,  $-M1-L1-K-L2-M2-$  or  $-M1-L1-K-L2-M2-$

wherein  $Y$  is selected from the group comprising alkyl, substituted alkyl, straight alkyl, substituted straight alkyl, branched alkyl, substituted branched alkyl, straight alkenyl, substituted straight alkenyl, branched alkenyl, substituted branched alkenyl, straight alkynyl, substituted straight alkynyl, branched alkynyl, substituted branched alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclyl, substituted heterocyclyl, mono-unsaturated heterocyclyl, poly-unsaturated heterocyclyl, mono-substituted poly-unsaturated heterocyclyl, poly-substituted poly-unsaturated heterocyclyl, mono-substituted mono-unsaturated heterocyclyl, poly-substituted mono-unsaturated heterocyclyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl, wherein  $Y$  is different from a peptide or is absent.

# INTERNATIONAL SEARCH REPORT

International application No.  
PCT/EP 03/10406

## Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:
  
2. ☒ Claims Nos.:  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:  
see FURTHER INFORMATION sheet PCT/ISA/210
  
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
  
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
  
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
  
4. ☒ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

1-183 (incompletely)

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

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Continuation of Box I.2

Claims Nos.: -

Present claims 1-183 relate to an extremely large number of possible compounds and compositions for use. In fact, the claims contain so many options, variables, that a lack of clarity (and/or conciseness) within the meaning of Article 6 PCT arises to such an extent as to render a meaningful search of the claims impossible. Consequently, the search has been carried out for those parts of the application which do appear to be clear (and/or concise), namely those compounds recited in the examples and closely related homologous compounds Formula 1, where  $X = -(CRR)-NR-CO-NR-CRR, -(CRR)-NR-CS-NR-(CRR)$ .

The applicant's attention is drawn to the fact that claims relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure. If the application proceeds into the regional phase before the EPO, the applicant is reminded that a search may be carried out during examination before the EPO (see EPO Guideline C-VI, 8.5), should the problems which led to the Article 17(2) declaration be overcome.

## INTERNATIONAL SEARCH REPORT

International Application No

PC 03/10406

A. CLASSIFICATION OF SUBJECT MATTER  
 IPC 7 C07C275/34 C07C335/18 A61K31/17

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 A61K C07C

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, CHEM ABS Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 02/059080 A (GUILFORD PHARMACEUTICALS INC.) 1 August 2002 (2002-08-01) page 104 - page 119; claims 1-63 -----	1-183
X	WO 02/44126 A (GUILFORD PHARMACEUTICALS INC.) 6 June 2002 (2002-06-06) page 104 - page 140; claims 1-84 -----	1-183
X	WO 01/017953 A (GUILFORD PHARMACEUTICALS INC.) 15 March 2001 (2001-03-15) page 33 - page 51; claims 1-94 -----	1-183
Y	WO 98/37882 A (GUILFORD PHARMACEUTICALS INC.) 3 September 1998 (1998-09-03) page 37 - page 49; claims 1-24 ----- -/-	1-183

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

## \* Special categories of cited documents:

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

- \*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- \*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- \*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- \*G\* document member of the same patent family

Date of the actual completion of the international search

22 December 2003

Date of mailing of the international search report

12. 03. 2004

Name and mailing address of the ISA

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## INTERNATIONAL SEARCH REPORT

International Application No

PO P 03/10406

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	US 6 242 468 B1 (JIA-HE LI ET AL.) 5 June 2001 (2001-06-05) column 1 - column 7, line 2	1-183
Y	US 2002/049199 A1 (GREGORY S. HAMILTON ET AL.) 25 April 2002 (2002-04-25) page 1, column 1 - page 3, column 1	1-183
Y	US 5 744 485 A (ROBERT EDWARD ZELLE ET AL.) 28 April 1998 (1998-04-28) column 1 - column 5, line 52	1-183

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/JP 03/10406

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 02059080	A	01-08-2002	CA 2435829 A1 EP 1360173 A2 WO 02059080 A2 US 2002165275 A1	01-08-2002 12-11-2003 01-08-2002 07-11-2002
WO 0244126	A	06-06-2002	AU 2576702 A CA 2430409 A1 EP 1339668 A2 WO 0244126 A2 US 2002127605 A1	11-06-2002 06-06-2002 03-09-2003 06-06-2002 12-09-2002
WO 0117953	A	15-03-2001	AU 7353300 A CA 2383086 A1 EP 1214293 A1 JP 2003508512 T WO 0117953 A1 US 2003232815 A1 US 6677376 B1	10-04-2001 15-03-2001 19-06-2002 04-03-2003 15-03-2001 18-12-2003 13-01-2004
WO 9837882	A	03-09-1998	AU 6181698 A CA 2281096 A1 EP 1001762 A1 JP 2001513772 T WO 9837882 A1 US 6242468 B1 ZA 9800825 A	18-09-1998 03-09-1998 24-05-2000 04-09-2001 03-09-1998 05-06-2001 19-10-1998
US 6242468	B1	05-06-2001	AU 5687999 A BG 105340 A BR 9912988 A CA 2341530 A1 CN 1313767 T CZ 20010274 A3 EP 1107757 A2 HU 0103166 A2 JP 2002523367 T NO 20010946 A PL 346759 A1 SK 2562001 A3 WO 0010553 A2 AU 6181698 A CA 2281096 A1 EP 1001762 A1 JP 2001513772 T WO 9837882 A1 ZA 9800825 A	14-03-2000 31-12-2001 02-10-2001 02-03-2000 19-09-2001 12-09-2001 20-06-2001 29-05-2002 30-07-2002 23-02-2001 25-02-2002 11-09-2001 02-03-2000 18-09-1998 03-09-1998 24-05-2000 04-09-2001 03-09-1998 19-10-1998
US 2002049199	A1	25-04-2002	US 6274607 B1 US 5958949 A US 5935989 A CA 2239781 A1 EA 2401 B1 EP 0959882 A1 JP 2002515050 T NO 992751 A NZ 336386 A SK 57899 A3 AU 721572 B2	14-08-2001 28-09-1999 10-08-1999 30-06-1998 25-04-2002 01-12-1999 21-05-2002 04-08-1999 28-09-2001 16-05-2000 06-07-2000

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/JP 03/10406

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US 2002049199	A1	AU 5724198 A	31-07-1998
		BG 103408 A	31-01-2000
		BR 9714092 A	09-05-2000
		CZ 9901546 A3	17-11-1999
		HU 0002442 A2	28-12-2000
		KR 2000057488 A	15-09-2000
		PL 334372 A1	28-02-2000
		WO 9829117 A1	09-07-1998
		US 6184243 B1	06-02-2001
		ZA 9711704 A	23-09-1998
US 5744485	A 28-04-1998	AT 210119 T	15-12-2001
		AU 704475 B2	22-04-1999
		AU 2194395 A	17-10-1995
		CA 2186380 A1	05-10-1995
		DE 69524400 D1	17-01-2002
		DE 69524400 T2	22-08-2002
		DK 754176 T3	02-04-2002
		EP 1138673 A2	04-10-2001
		EP 0754176 A1	22-01-1997
		ES 2169127 T3	01-07-2002
		HK 1013993 A1	11-10-2002
		JP 9510974 T	04-11-1997
		NZ 283599 A	26-06-1998
		PT 754176 T	31-05-2002
		WO 9526337 A1	05-10-1995